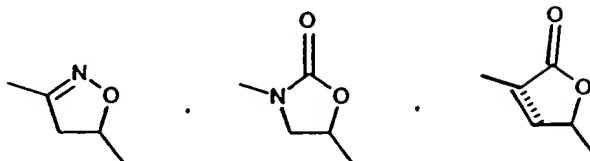


or pharmaceutical acceptable salts thereof wherein:

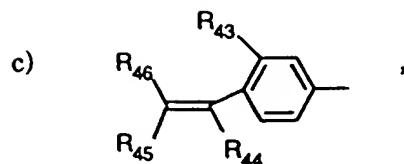
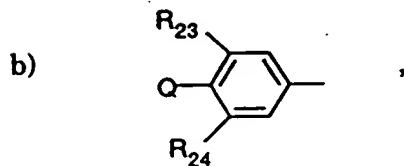
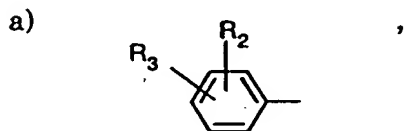
G is



R<sub>1</sub> is

- C1 Cont
- a) H,
  - b) NH<sub>2</sub>,
  - c) NH-C<sub>1-4</sub> alkyl,
  - d) C<sub>1-4</sub> alkyl,
  - e) -OC<sub>1-4</sub> alkyl,
  - f) -S C<sub>1-4</sub> alkyl,
  - g) C<sub>1-4</sub> alkyl substituted with 1-3 F, 1-2 Cl, CN or -COOC<sub>1-4</sub> alkyl,
  - h) C<sub>3-6</sub> cycloalkyl,
  - i) N(C<sub>1-4</sub> alkyl)<sub>2</sub> or
  - j) N(CH<sub>2</sub>)<sub>2-5</sub>;

A is

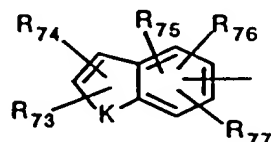


d) a 5-membered heteroaromatic moiety having one to three atoms selected from the group consisting of S, N, and O, wherein the 5-membered heteroaromatic moiety is bonded via a carbon atom, wherein the 5-membered heteroaromatic moiety can additionally have a fused-on benzene or naphthyl ring, wherein the heteroaromatic moiety is optionally substituted with one to three  $R_{48}$ ,

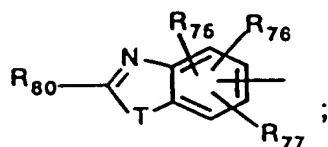
e) a 6-membered heteroaromatic moiety having at least one nitrogen atom, wherein the heteroaromatic moiety is bonded via a carbon atom, wherein the 6-membered heteroaromatic moiety can additionally have a fused-on benzene or naphthyl ring, wherein the heteroaromatic moiety is optionally substituted with one to three  $R_{55}$ ,

f) a  $\beta$ -carbolin-3-yl, or indolizinyI bonded via the 6-membered ring, optionally substituted with one to three  $R_{55}$ ,

g) , or



h)



wherein  $R_2$  is

- a) H,
- b) F,
- c) Cl,
- d) Br,
- e)  $C_{1-3}$  alkyl,
- f)  $NO_2$ , or
- g)  $R_2$  and  $R_3$  taken together are  $-O-(CH_2)_h-O-$ ;

$R_3$  is

- a)  $-S(=O)_i R_4$ ,
- b)  $-S(=O)_2-N=S(O)_j R_5 R_6$ ,
- c)  $-SC(=O)R_7$ ,
- d)  $-C(=O)R_8$ ,
- e)  $-C(=O)R_9$ ,
- f)  $-C(=O)NR_{10}R_{11}$ ,
- g)  $-C(=NR_{12})R_8$ ,
- h)  $-C(R_8)(R_{11})-OR_{13}$ ,
- i)  $-C(R_9)(R_{11})-OR_{13}$ ,
- j)  $-C(R_8)(R_{11})-OC(=O)R_{13}$ ,
- k)  $-C(R_9)(R_{11})-OC(=O)R_{13}$ ,
- l)  $-NR_{10}R_{11}$ ,
- m)  $-N(R_{10})-C(=O)R_7$ ,
- n)  $-N(R_{10})-S(=O)_i R_7$ ,
- o)  $-C(OR_{14})(OR_{15})R_8$ ,
- p)  $-C(R_8)(R_{16})-NR_{10}R_{11}$ , or
- q)  $C_{1-8}$  alkyl substituted with one or more  $=O$  other than at alpha position,  $-S(=O)_i R_{17}$ ,  $-NR_{10}R_{11}$ ,  $C_{2-6}$  alkenyl, or  $C_{2-6}$  alkynyl;

$R_4$  is

- a)  $C_{1-4}$  alkyl optionally substituted with one or more halos, OH, CN,  $NR_{10}R_{11}$ , or  $-CO_2R_{13}$ ,

- b)  $C_{2-4}$  alkenyl,
- c)  $-NR_{16}R_{18}$ ,
- d)  $-N_3$ ,
- e)  $-NHC(=O)R_7$ ,
- f)  $-NR_{20}C(=O)R_7$ ,
- g)  $-N(R_{19})_2$ ,
- h)  $-NR_{16}R_{19}$ , or
- i)  $-NR_{19}R_{20}$ ,

*C1 Cont*

$R_5$  and  $R_6$  at each occurrence are the same or different and are

- a)  $C_{1-2}$  alkyl, or
- b)  $R_5$  and  $R_6$  taken together are  $-(CH_2)_t-$ ;

$R_7$  is  $C_{1-4}$  alkyl optionally substituted with one or more halos;

$R_8$  is

- a) H, or
- b)  $C_{1-8}$  alkyl optionally substituted with one or more halos, or  $C_{3-8}$  cycloalkyl;

$R_9$  is  $C_{1-4}$  alkyl substituted with one or more

- a)  $-S(=O)R_{17}$ ,
- b)  $-OR_{13}$ ,
- c)  $-OC(=O)R_{13}$ ,
- d)  $-NR_{10}R_{11}$ , or
- e)  $C_{1-5}$  alkenyl optionally substituted with CHO;

$R_{10}$  and  $R_{11}$  at each occurrence are the same or different and are

- a) H,
- b)  $C_{1-4}$  alkyl, or
- c)  $C_{3-8}$  cycloalkyl;

$R_{12}$  is

- a)  $-NR_{10}R_{11}$ ,
- b)  $-OR_{10}$ ; or
- c)  $-NHC(=O)R_{10}$ ;

$R_{13}$  is

- a) H, or
- b)  $C_{1-4}$  alkyl;

$R_{14}$  and  $R_{15}$  at each occurrence are the same or different and are

- a)  $C_{1-4}$  alkyl, or
- b)  $R_{14}$  and  $R_{15}$  taken together are  $-(CH)_1-$ ;

$R_{16}$  is

- a) H,
- b)  $C_{1-4}$  alkyl, or
- c)  $C_{3-8}$  cycloalkyl;

$R_{17}$  is

- a)  $C_{1-4}$  alkyl, or
- b)  $C_{3-8}$  cycloalkyl;

$R_{18}$  is

- a) H,
- b)  $C_{1-4}$  alkyl,
- c)  $C_{2-4}$  alkenyl,
- d)  $C_{3-4}$  cycloalkyl,
- e)  $-OR_{13}$  or
- f)  $-NR_{21}R_{22}$ ;

$R_{19}$  is

- a) Cl,
- b) Br, or
- c) I;

$R_{20}$  is a physiologically acceptable cation;

$R_{21}$  and  $R_{22}$  at each occurrence are the same or different and are

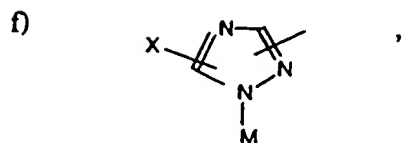
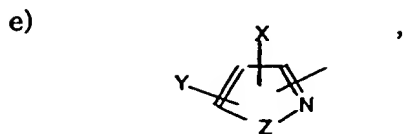
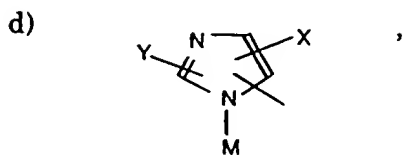
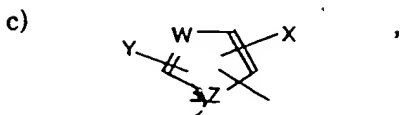
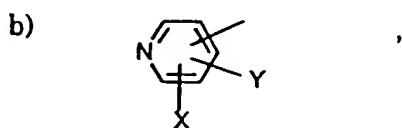
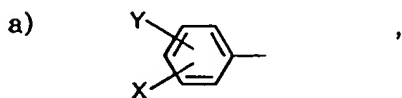
- a) H,
- b)  $C_{1-4}$  alkyl, or
- c)  $-NR_{21}R_{22}$  taken together are  $-(CH_2)_m-$ ;

wherein  $R_{23}$  and  $R_{24}$  at each occurrence are the same or different and are

- a) H,
- b) F,

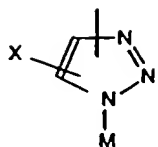
- c) Cl,
- d) C<sub>1-2</sub> alkyl,
- e) CN
- f) OH,
- g) C<sub>1-2</sub> alkoxy,
- h) nitro, or
- i) amino;

Q is

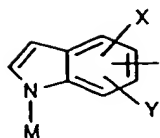


C1  
cont

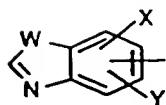
g)



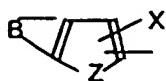
h)



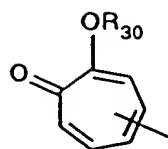
i)



j)

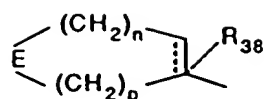


k)

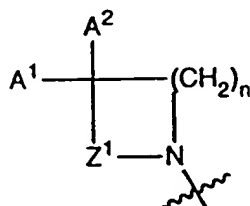


C1  
Cont

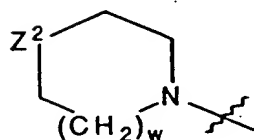
l)



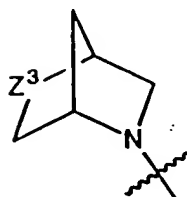
- m) a diazinyl group optionally substituted with X and Y,  
 n) a triazinyl group optionally substituted with X and Y,  
 o) a quinolinyl group optionally substituted with X and Y,  
 p) a quinoxalinyl group optionally substituted with X and Y,  
 q) a naphthyridinyl group optionally substituted with X and Y,  
 r)



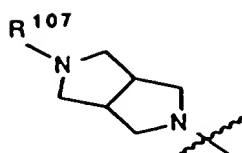
s)



t)



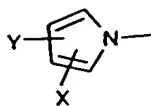
u)



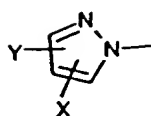
C1  
 Cont



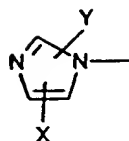
v)



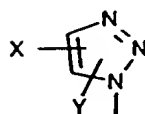
w)



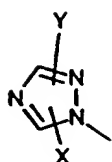
x)



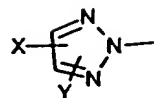
y)



z)



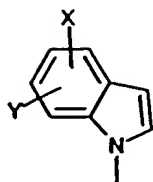
aa)



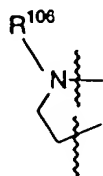
C1  
Cont

bb)

or,



Q and R<sub>24</sub> taken together are



wherein Z<sup>1</sup> is

- a) -CH<sub>2</sub>-,
- b) -CH(R<sup>104</sup>)-CH<sub>2</sub>-,
- c) -C(O)-, or
- d) -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-;

wherein Z<sup>2</sup> is

- a) -O<sub>2</sub>S-,
- b) -O-,
- c) -N(R<sup>107</sup>)-,
- d) -OS-, or
- e) -S-;

wherein Z<sup>3</sup> is

- a) -O<sub>2</sub>S-,
- b) -O-,
- c) -OS-, or
- d) -S-;

wherein A<sup>1</sup> is

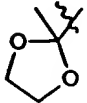
- a) H-, or
- b) CH<sub>3</sub>;

C<sup>1</sup>  
cont

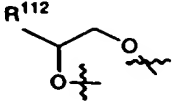
wherein A<sup>2</sup> is

- a) H-,  
 b) HO-,  
 c) CH<sub>3</sub>-,  
 d) CH<sub>3</sub>O-,  
 e) R<sup>102</sup>O-CH<sub>2</sub>-C(O)-NH-,  
 f) R<sup>103</sup>O-C(O)-NH-,  
 g) (C<sub>1</sub>-C<sub>2</sub>)alkyl-O-C(O)-,  
 h) HO-CH<sub>2</sub>-,  
 i) CH<sub>3</sub>O-NH-,  
 j) (C<sub>1</sub>-C<sub>3</sub>)alkyl-O<sub>2</sub>C-,  
 k) CH<sub>3</sub>-C(O)-,  
 l) CH<sub>3</sub>-C(O)-CH<sub>2</sub>-,

- m)  , or

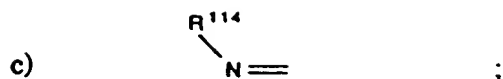
- n) 

A<sup>1</sup> and A<sup>2</sup> taken together are:

- a) 

- b) O= , or

C1  
 Cont



wherein  $R^{102}$  is

- a) H-,
- b)  $CH_3$ -,
- c) phenyl- $CH_2$ -, or
- d)  $CH_3C(O)$ -;

wherein  $R^{103}$  is

- a)  $(C_1-C_3)$ alkyl-, or
- b) phenyl-;

wherein  $R^{104}$  is

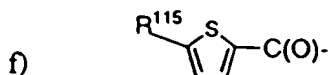
- a) H-, or
- b) HO-;

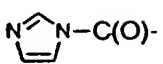
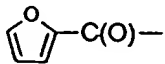
wherein  $R^{105}$  is

- a) H-,
- b)  $(C_1-C_3)$ alkyl-,
- c)  $CH_2 = CH-CH_2$ -, or
- d)  $CH_3-O-(CH_2)_2$ -;

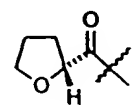
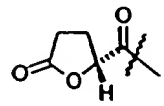
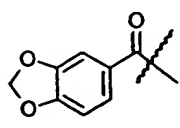
wherein  $R^{106}$  is

- a)  $CH_3-C(O)$ -,
- b)  $H-C(O)$ -,
- c)  $Cl_2CH-C(O)$ -,
- d)  $HOCH_2-C(O)$ -,
- e)  $CH_3SO_2$ -,



- g)  $\text{F}_2\text{CHC(O)-}$ ,  
h) ,  
i)  $\text{H}_3\text{C-C(O)-O-CH}_2\text{-C(O)-}$ ,  
j)  $\text{H-C(O)-O-CH}_2\text{-C(O)-}$ ,  
k) ,  
l)  $\text{HC}\equiv\text{C-CH}_2\text{O-CH}_2\text{-C(O)-}$ , or  
m) phenyl- $\text{CH}_2\text{-O-CH}_2\text{-C(O)-}$ ;

wherein  $\text{R}^{107}$  is

- a)  $\text{R}^{102}\text{O-C(R}^{110})(\text{R}^{111})\text{-C(O)-}$ ,  
b)  $\text{R}^{103}\text{O-C(O)-}$ ,  
c)  $\text{R}^{108}\text{-C(O)-}$ ,  
d) ,  
e) ,  
f)  $\text{H}_3\text{C-C(O)-(CH}_2)_2\text{-C(O)-}$ ,  
g)  $\text{R}^{109}\text{-SO}_2\text{-}$ ,  
h) ,  
i)  $\text{HO-CH}_2\text{-C(O)-}$ ,

- j)  $R^{116}-(CH_2)_2-$ ,  
k)  $R^{113}-C(O)-O-CH_2-C(O)-$ ,  
l)  $(CH_3)_2N-CH_2-C(O)-NH-$ ,  
m)  $NC-CH_2-$ ,  
n)  $F_2-CH-CH_2-$ , or  
o)  $R^{160}R^{161}NSO_2$

wherein  $R^{108}$  is

- a) H-,  
b)  $(C_1-C_4)$ alkyl,  
c) aryl  $-(CH_2)_p$ ,  
d)  $ClH_2C-$ ,  
e)  $Cl_2HC-$ ,  
f)  $FH_2C-$ ,  
g)  $F_2HC-$ ,  
h)  $(C_3-C_6)$ cycloalkyl, or  
i)  $CNCH_2-$ .

wherein  $R^{109}$  is

- a) alkyl  $C_1-C_4$ ,  
b)  $-CH_2Cl$   
c)  $-CH_2CH=CH_2$ ,  
d) aryl, or  
e)  $-CH_2CN$ ;

wherein  $R^{110}$  and  $R^{111}$  are independently

- a) H-,  
b)  $CH_3-$ ; or

wherein  $R^{112}$  is

- a) H-,  
b)  $CH_3O-CH_2O-CH_2-$ , or  
c)  $HOCH_2-$ ;

wherein  $R^{113}$  is

- a)  $CH_3-$ ,
- b)  $HOCH_2-$ ,
- c)  $(CH_3)_2N$ -phenyl, or
- d)  $(CH_3)_2N-CH_2-$ ;

wherein  $R^{114}$  is

- a)  $HO-$ ,
- b)  $CH_3O-$ ,
- c)  $H_2N-$ ,
- d)  $CH_3O-C(O)-O-$ ,
- e)  $CH_3-C(O)-O-CH_2-C(O)-O-$ ,
- f) phenyl- $CH_2-O-CH_2-C(O)-O-$ ,
- g)  $HO-(CH_2)_2-O-$ ,
- h)  $CH_3O-CH_2-O-(CH_2)_2-O-$ , or
- i)  $CH_3O-CH_2-O-$ ; wherein  $R^{113}$  is
  - a)  $CH_3-$ ,
  - b)  $HOCH_2-$ ,
  - c)  $(CH_3)_2N$ -phenyl, or
  - d)  $(CH_3)_2N-CH_2-$ ;

wherein  $R^{115}$  is

- a)  $H-$ , or
- b)  $Cl-$ ;

wherein  $R^{116}$  is

- a)  $HO-$
- b)  $CH_3O-$ , or
- c)  $F$ ;

wherein  $R^{150}$  and  $R^{151}$  are each H or alkyl  $C_1-C_4$  or  $R^{150}$  and  $R^{151}$  taken together with the nitrogen atom to which each is attached form a monocyclic heterocyclic ring having from 3 to 6 carbon atoms;

B is an unsaturated 4-atom linker having one nitrogen and three carbons;

M is

- a) H,
- b) C<sub>1-8</sub> alkyl,
- c) C<sub>3-8</sub> cycloalkyl,
- d) -(CH<sub>2</sub>)<sub>m</sub>OR<sub>13</sub>, or
- e) -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>21</sub>R<sub>22</sub>;

Z is

- a) O,
- b) S, or
- c) NM;

W is

- a) CH,
- b) N, or
- c) S or O when Z is NM;

Y is

- a) H,
- b) F,
- c) Cl,
- d) Br,
- e) C<sub>1-3</sub> alkyl, or
- f) NO<sub>2</sub>;

X is

- a) H,
- b) -CN,
- c) OR<sub>27</sub>,
- d) halo,
- e) NO<sub>2</sub>,
- f) tetrazoyl,
- g) -SH,
- h) -S(=O)<sub>i</sub>R<sub>4</sub>,
- i) -S(=O)<sub>2</sub>-N=S(O)<sub>j</sub>R<sub>5</sub>R<sub>6</sub>,



- C1  
cont
- j)  $-\text{SC}(=\text{O})\text{R}_7$ ,
  - k)  $-\text{C}(=\text{O})\text{R}_{25}$ ,
  - l)  $-\text{C}(=\text{O})\text{NR}_{27}\text{R}_{28}$ ,
  - m)  $-\text{C}(=\text{NR}_{29})\text{R}_{25}$ ,
  - n)  $-\text{C}(\text{R}_{25})(\text{R}_{28})-\text{OR}_{13}$ ,
  - o)  $-\text{C}(\text{R}_{25})(\text{R}_{28})-\text{OC}(=\text{O})\text{R}_{13}$ ,
  - p)  $-\text{C}(\text{R}_{28})(\text{OR}_{13})-(\text{CH}_2)_b-\text{NR}_{27}\text{R}_{28}$ ,
  - q)  $-\text{NR}_{27}\text{R}_{28}$ ,
  - r)  $-\text{N}(\text{R}_{27})\text{C}(=\text{O})\text{R}_7$ ,
  - s)  $-\text{N}(\text{R}_{27})-\text{S}(=\text{O})_i\text{R}_7$ ,
  - t)  $-\text{C}(\text{OR}_{14})(\text{OR}_{16})\text{R}_{28}$ ,
  - u)  $-\text{C}(\text{R}_{25})(\text{R}_{16})-\text{NR}_{27}\text{R}_{26}$ , or
  - v)  $\text{C}_{1-8}$  alkyl substituted with one or more halos, OH, =O other than at alpha position,  $-\text{S}(=\text{O})_i\text{R}_{17}$ ,  $-\text{NR}_{27}\text{R}_{28}$ ,  $\text{C}_{2-5}$  alkenyl,  $\text{C}_{2-5}$  alkynyl, or  $\text{C}_{3-8}$  cycloalkyl;

$\text{R}_4$ ,  $\text{R}_5$ ,  $\text{R}_6$ ,  $\text{R}_7$ ,  $\text{R}_{13}$ ,  $\text{R}_{14}$ ,  $\text{R}_{15}$ ,  $\text{R}_{16}$ , and  $\text{R}_{17}$  are the same as defined above;

$\text{R}_{25}$  is

- a) H,
- b)  $\text{C}_{1-8}$  alkyl optionally substituted with one or more halos,  $\text{C}_{3-8}$  cycloalkyl,  $\text{C}_{1-4}$  alkyl substituted with one or more of  $-\text{S}(=\text{O})_i\text{R}_{17}$ ,  $-\text{OR}_{13}$ , or  $\text{OC}(=\text{O})\text{R}_{13}$ ,  $\text{NR}_{27}\text{R}_{28}$ , or
- c)  $\text{C}_{2-6}$  alkenyl optionally substituted with CHO, or  $\text{CO}_2\text{R}_{13}$ ;

$\text{R}_{26}$  is

- a)  $\text{R}_{28}$ , or
- b)  $\text{NR}_{27}\text{R}_{28}$ ;

$\text{R}_{27}$  and  $\text{R}_{28}$  at each occurrence are the same or different and are

- a) H,
- b)  $\text{C}_{1-8}$  alkyl,
- c)  $\text{C}_{3-8}$  cycloalkyl,
- d)  $-(\text{CH}_2)_m\text{OR}_{13}$ ,

- e)  $-(CH_2)_h-NR_{21}R_{22}$ , or  
 f)  $R_{27}$  and  $R_{28}$  taken together are  $-(CH_2)_2O(CH_2)_2-$ ,  $-(CH_2)_hCH(COR_7)-$ ,  
 or  $-(CH_2)_2N(CH_2)_2(R_7)$ ;

$R_{29}$  is

- a)  $-NR_{27}R_{28}$ ,  
 b)  $-OR_{27}$ , or  
 c)  $-NHC(=O)R_{28}$ ;

wherein  $R_{30}$  is

- a) H,  
 b)  $C_{1-8}$  alkyl optionally substituted with one or more halos, or  
 c)  $C_{1-8}$  alkyl optionally substituted with one or more OH, or  $C_{1-6}$  alkoxy,

wherein E is

- a)  $NR_{39}$ ,  
 b)  $-S(=O)_i$ , or  
 c) O;

$R_{38}$  is

- a) H,  
 b)  $C_{1-6}$  alkyl,  
 c)  $-(CH_2)_q$ -aryl, or  
 d) halo;

$R_{39}$  is

- a) H,  
 b)  $C_{1-6}$  alkyl optionally substituted with one or more OH, halo, or -CN,  
 c)  $-(CH_2)_q$ -aryl,  
 d)  $-CO_2R_{40}$ ,  
 e)  $-COR_{41}$ ,  
 f)  $-C(=O)-(CH_2)_q-C(=O)R_{40}$ ,  
 g)  $-S(=O)_2-C_{1-6}$  alkyl,  
 h)  $-S(=O)_2-(CH_2)_q$ -aryl, or  
 i)  $-(C=O)_j$ -Het;

C1  
 cont

*C1*  
*cont*

R<sub>40</sub> is

- a) H,
- b) C<sub>1-6</sub> alkyl optionally substituted with one or more OH, halo, or -CN,
- c) -(CH<sub>2</sub>)<sub>q</sub>-aryl, or
- d) -(CH<sub>2</sub>)<sub>q</sub>-OR<sub>42</sub>;

R<sub>41</sub> is

- a) C<sub>1-6</sub> alkyl optionally substituted with one or more OH, halo, or -CN,
- b) -(CH<sub>2</sub>)<sub>q</sub>-aryl, or
- c) -(CH<sub>2</sub>)<sub>q</sub>-OR<sub>42</sub>;

R<sub>42</sub> is

- a) H,
- b) C<sub>1-6</sub> alkyl,
- c) -(CH<sub>2</sub>)<sub>q</sub>-aryl, or
- d) -C(=O)-C<sub>1-6</sub> alkyl;

aryl is

- a) phenyl,
- b) pyridyl, or
- c) naphthyl; a to c optionally substituted with one or more halo, -CN, OH, SH, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, or C<sub>1-6</sub> alkylthio;

wherein R<sub>43</sub> is

- a) H,
- b) C<sub>1-2</sub> alkyl,
- c) F, or
- d) OH;

R<sub>44</sub> is

- a) H,
- b) CF<sub>3</sub>,
- c) C<sub>1-3</sub> alkyl optionally substituted with one or more halo,
- d) phenyl optionally substituted with one or more halo,

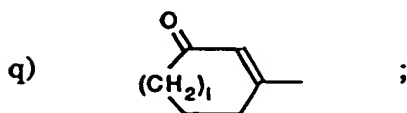


$R_{47}$  is

- a) H, or
- b)  $C_{1-5}$  alkyl;

wherein  $R_{48}$  is

- a) carboxyl,
- b) halo,
- c) -CN,
- d) mercapto,
- e) formyl,
- f)  $CF_3$ ,
- g)  $-NO_2$ ,
- h)  $C_{1-6}$  alkoxy,
- i)  $C_{1-6}$  alkoxycarbonyl,
- j)  $C_{1-6}$  alkythio,
- k)  $C_{1-6}$  acyl,
- l)  $-NR_{49}R_{50}$ ,
- m)  $C_{1-6}$  alkyl optionally substituted with OH,  $C_{1-5}$  alkoxy,  $C_{1-5}$  acyl, or  $-NR_{49}R_{50}$ ,
- n)  $C_{2-8}$  alkenylphenyl optionally substituted with one or two  $R_{51}$ ,
- o) phenyl optionally substituted with one or two  $R_{51}$ ,
- p) a 5-, or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with one or two  $R_{51}$ , or



$R_{49}$  and  $R_{50}$  at each occurrence are the same or different and are

- a) H,
- b)  $C_{1-4}$  alkyl,
- c)  $C_{5-6}$  cycloalkyl, or

- d)  $R_{49}$  and  $R_{50}$  taken together with the nitrogen atom is a 5-, 6-membered saturated heterocyclic moiety which optionally has a further hetero atom selected from the group consisting of S, N, and O, and can in turn be optionally substituted with, including on the further nitrogen atom,  $C_{1-3}$  alkyl, or  $C_{1-3}$  acyl;

$R_{61}$  is

- a) carboxyl,  
 b) halo,  
 c) -CN,  
 d) mercapto,  
 e) formyl,  
 f)  $CF_3$ ,  
 g)  $-NO_2$ ,  
 h)  $C_{1-6}$  alkoxy,  
 i)  $C_{1-6}$  alkoxy carbonyl,  
 j)  $C_{1-6}$  alkythio,  
 k)  $C_{1-6}$  acyl,  
 l)  $C_{1-6}$  alkyl optionally substituted with OH,  $C_{1-3}$  alkoxy,  $C_{1-6}$  acyl, or  $-NR_{49}R_{50}$ ,  
 m) phenyl,  
 n)  $-C(=O)NR_{52}R_{53}$ ,  
 o)  $-NR_{49}R_{50}$ ,  
 p)  $-N(R_{52})(-SO_2R_{54})$ ,  
 q)  $-SO_2-NR_{52}R_{53}$ , or  
 r)  $-S(=O)_iR_{54}$ ;

$R_{52}$  and  $R_{53}$  at each occurrence are the same or different and are

- a) H,  
 b)  $C_{1-6}$  alkyl, or  
 c) phenyl;

C1  
 Cont

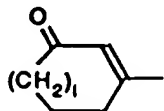
$R_{54}$  is

- a)  $C_{1-4}$  alkyl, or
- b) phenyl optionally substituted with  $C_{1-4}$  alkyl;

wherein  $R_{55}$  is

- a) carboxyl,
- b) halo,
- c)  $-CN$ ,
- d) mercapto,
- e) formyl,
- f)  $CF_3$ ,
- g)  $-NO_2$ ,
- h)  $C_{1-6}$  alkoxy,
- i)  $C_{1-6}$  alkoxy carbonyl,
- j)  $C_{1-6}$  alkythio
- k)  $C_{1-6}$  acyl,
- l)  $-NR_{56}R_{57}$ ,
- m)  $C_{1-6}$  alkyl optionally substituted with OH,  $C_{1-5}$  alkoxy,  $C_{1-5}$  acyl, or  $-NR_{56}R_{57}$ ,
- n)  $C_{2-8}$  alkenylphenyl optionally substituted with one or two  $R_{58}$ ,
- o) phenyl optionally substituted with one or two  $R_{58}$ ,
- p) a 5- or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with one or two  $R_{58}$ , or

q) ;



$R_{56}$  and  $R_{57}$  at each occurrence are the same or different and are

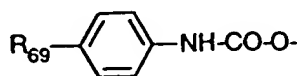
- a) H,
- b) formyl,

C1  
cont

- c)  $C_{1-4}$  alkyl,
- d)  $C_{1-4}$  acyl,
- e) phenyl,
- f)  $C_{3-6}$  cycloalkyl, or
- g)  $R_{66}$  and  $R_{67}$  taken together with the nitrogen atom is a 5-, 6-membered saturated heterocyclic moiety which optionally has a further hetero atom selected from the group consisting of S, N, and O, and can in turn be optionally substituted with, including on the further nitrogen atom, phenyl, pyrimidyl,  $C_{1-3}$  alkyl, or  $C_{1-3}$  acyl;

$R_{58}$  is

- a) carboxyl,
- b) halo,
- c) -CN,
- d) mercapto,
- e) formyl,
- f)  $CF_3$ ,
- g)  $-NO_2$ ,
- h)  $C_{1-6}$  alkoxy,
- i)  $C_{1-6}$  alkoxy carbonyl,
- j)  $C_{1-6}$  alkythio,
- k)  $C_{1-6}$  acyl,
- l) phenyl,
- m)  $C_{1-6}$  alkyl optionally substituted with OH, azido,  $C_{1-5}$  alkoxy,  $C_{1-5}$  acyl,  $-NR_{65}R_{66}$ ,  $-SR_{67}$ ,  $-O-SO_2R_{68}$ , or



- n)  $-C(=O)NR_{69}R_{60}$ ,
- o)  $-NR_{66}R_{67}$ ,
- p)  $-N(R_{69})(-SO_2R_{64})$ ,



- q)  $-\text{SO}_2-\text{NR}_{69}\text{R}_{60}$ ,
- r)  $-\text{S}(=\text{O})_i\text{R}_{64}$ ,
- s)  $-\text{CH}=\text{N}-\text{R}_{61}$ , or
- t)  $-\text{CH}(\text{OH})-\text{SO}_3\text{R}_{64}$ ;

$\text{R}_{64}$  is the same as defined above;

$\text{R}_{59}$  and  $\text{R}_{60}$  at each occurrence are the same or different and are

- a) H,
- b)  $\text{C}_{1-6}$  alkyl,
- c) phenyl, or
- d) tolyl;

$\text{R}_{61}$  is

- a) OH,
- b) benzyloxy,
- c)  $-\text{NH}-\text{C}(=\text{O})-\text{NH}_2$ ,
- d)  $-\text{NH}-\text{C}(=\text{S})-\text{NH}_2$ , or
- e)  $-\text{NH}-\text{C}(=\text{NH})-\text{NR}_{62}\text{R}_{63}$ ;

$\text{R}_{62}$  and  $\text{R}_{63}$  at each occurrence are the same or different and are

- a) H, or
- b)  $\text{C}_{1-4}$  alkyl optionally substituted with phenyl or pyridyl;

$\text{R}_{64}$  is

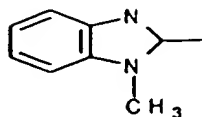
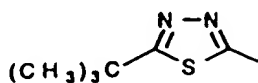
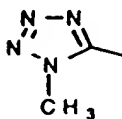
- a) H, or
- b) a sodium ion;

$\text{R}_{65}$  and  $\text{R}_{66}$  at each occurrence are the same or different and are

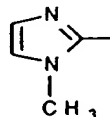
- a) H,
- b) formyl,
- c)  $\text{C}_{1-4}$  alkyl,
- d)  $\text{C}_{1-4}$  acyl,
- e) phenyl,
- f)  $\text{C}_{3-6}$  cycloalkyl,

- g)  $R_{65}$  and  $R_{66}$  taken together are a 5-, 6-membered saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with, including on the nitrogen atom, phenyl, pyrimidyl,  $C_{1-3}$  alkyl, or  $C_{1-3}$  acyl,
- h)  $-P(O)(OR_{70})(OR_{71})$ , or
- i)  $-SO_2-R_{72}$ ;

$R_{67}$  is



or



$R_{68}$  is  $C_{1-3}$  alkyl;

$R_{69}$  is

- a)  $C_{1-6}$  alkoxy carbonyl, or
- b) carboxyl;

$R_{70}$  and  $R_{71}$  at each occurrence are the same or different and are

- a) H, or
- b)  $C_{1-3}$  alkyl;

R<sub>72</sub> is

- a) methyl,
- b) phenyl, or
- c) tolyl;

wherein K is

- a) O, or
- b) S;

R<sub>73</sub>, R<sub>74</sub>, R<sub>75</sub>, R<sub>76</sub>, and R<sub>77</sub> at each occurrence are the same or different and are

- a) H,
- b) carboxyl,
- c) halo,
- d) -CN,
- e) mercapto,
- f) formyl,
- g) CF<sub>3</sub>,
- h) -NO<sub>2</sub>,
- i) C<sub>1-6</sub> alkoxy,
- j) C<sub>1-6</sub> alkoxy carbonyl,
- k) C<sub>1-6</sub> alkythio,
- l) C<sub>1-6</sub> acyl,
- m) -NR<sub>78</sub> R<sub>79</sub>,
- n) C<sub>1-6</sub> alkyl optionally substituted with OH, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> acyl, -NR<sub>78</sub>R<sub>79</sub>, -N(phenyl)(CH<sub>2</sub>-CH<sub>2</sub>-OH), -O-CH(CH<sub>3</sub>)(OCH<sub>2</sub>CH<sub>3</sub>), or -O-phenyl-[para-NHC(=O)CH<sub>3</sub>],
- o) C<sub>2-8</sub> alkenylphenyl optionally substituted with R<sub>61</sub>,
- p) phenyl optionally substituted with R<sub>61</sub>, or
- q) a 5-, or 6-membered (un)saturated heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, optionally substituted with R<sub>61</sub>;

R<sub>61</sub> is the same as defined above;

$R_{78}$  and  $R_{79}$  at each occurrence are the same or different and are

- a) H,
- b)  $C_{1-4}$  alkyl,
- c) phenyl, or
- d)  $R_{78}$  and  $R_{79}$  taken together with the nitrogen atom is a 5-, 6-membered saturated heterocyclic moiety which optionally has a further hetero atom selected from the group consisting of S, N, and O, and can in turn be optionally substituted with, including on the further nitrogen atom,  $C_{1-3}$  alkyl, or  $C_{1-3}$  acyl;

wherein T is

- a) O,
- b) S, or
- c)  $SO_2$ ;

$R_{75}$ ,  $R_{76}$ , and  $R_{77}$  are the same as defined above;

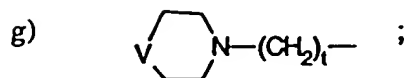
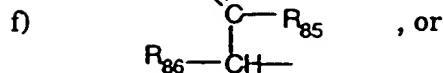
$R_{80}$  is

- a) H,
- b) formyl,
- c) carboxyl,
- d)  $C_{1-6}$  alkoxycarbonyl,
- e)  $C_{1-6}$  alkyl,
- f)  $C_{2-6}$  alkenyl,  
wherein the substituents (e) and (f) can be optionally substituted with OH, halo,  $C_{1-6}$  alkoxy,  $C_{1-6}$  acyl,  $C_{1-6}$  alkylthio or  $C_{1-6}$  alkoxycarbonyl, or phenyl optionally substituted with halo,
- g) an aromatic moiety having 6 to 10 carbon atoms optionally substituted with carboxyl, halo, -CN, formyl,  $CF_3$ , -NO<sub>2</sub>,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  acyl,  $C_{1-6}$  alkylthio, or  $C_{1-6}$  alkoxycarbonyl;
- h) -NR<sub>81</sub>R<sub>82</sub>,
- i) -OR<sub>90</sub>,
- j) -S(=O)<sub>i</sub>-R<sub>91</sub>,
- k) -SO<sub>2</sub>-N(R<sub>92</sub>)(R<sub>93</sub>), or
- l) a radical of the following formulas:

C1  
cont

$R_{81}$  and  $R_{82}$  at each occurrence are the same or different and are

- a) H,
- b)  $C_{3-6}$  cycloalkyl,
- c) phenyl,
- d)  $C_{1-6}$  acyl,
- e)  $C_{1-8}$  alkyl optionally substituted with OH,  $C_{1-6}$  alkoxy which can be substituted with OH, a 5-, or 6-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O, phenyl optionally substituted with OH,  $CF_3$ , halo,  $-NO_2$ ,  $C_{1-4}$  alkoxy,  $-NR_{83}R_{84}$ , or



V is

- a) O,
- b)  $CH_2$ , or
- c)  $NR_{87}$ ;

$R_{83}$  and  $R_{84}$  at each occurrence are the same or different and are

- a) H, or
- b)  $C_{1-4}$  alkyl;

$R_{85}$  is

- a) OH,
- b)  $C_{1-4}$  alkoxy, or
- c)  $-NR_{88} R_{89}$ ;

$R_{86}$  is

- a) H, or
- b)  $C_{1-7}$  alkyl optionally substituted with indolyl, OH, mercaptyl, imidazolyl, methylthio, amino, phenyl optionally substituted with OH,  $-C(=O)-NH_2$ ,  $-CO_2H$ , or  $-C(=NH)-NH_2$ ;

$R_{87}$  is

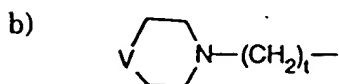
- a) H,
- b) phenyl, or
- c)  $C_{1-6}$  alkyl optionally substituted by OH;

$R_{88}$  and  $R_{89}$  at each occurrence are the same or different and are

- a) H,
- b)  $C_{1-5}$  alkyl
- c)  $C_{3-6}$  cycloalkyl, or
- d) phenyl;

$R_{90}$  is

- a)  $C_{1-8}$  alkyl optionally substituted with  $C_{1-6}$  alkoxy or  $C_{1-6}$  hydroxy,  $C_{3-6}$  cycloalkyl, a 6-membered aromatic optionally benzo-fused heterocyclic moiety having one to three nitrogen atoms, which can in turn be substituted with one or two  $-NO_2$ ,  $CF_3$ , halo,  $-CN$ , OH,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy, or  $C_{1-5}$  acyl;



- c) phenyl, or
- d) pyridyl;

*C1  
cont*  
 $R_{91}$  is

- a)  $C_{1-16}$  alkyl,
- b)  $C_{2-16}$  alkenyl,  
wherein the substituents (a) and (b) can be optionally substituted with  $C_{1-6}$  alkoxy carbonyl, or a 5-, 6-, 7-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,
- c) an aromatic moiety having 6 to 10 carbon atoms, or
- d) a 5-, 6-, 7-membered aromatic heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,  
wherein the substituents (c) and (d) can be optionally substituted with carboxyl, halo, -CN, formyl,  $CF_3$ , -NO<sub>2</sub>,  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy,  $C_{1-6}$  acyl,  $C_{1-6}$  alkylthio, or  $C_{1-6}$  alkoxy carbonyl;

$R_{92}$  and  $R_{93}$  at each occurrence are the same or different and are

- a) H,
- b) phenyl,
- c)  $C_{1-6}$  alkyl, or
- d) benzyl;

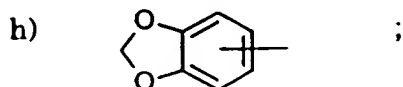
$R_{94}$  and  $R_{95}$  at each occurrence are the same or different and are

- a) H,
- b) OH,
- c)  $C_{1-6}$  alkyl optionally substituted with -NR<sub>93</sub> R<sub>94</sub>, or
- d) R<sub>94</sub> and R<sub>95</sub> taken together are =O;

$R_{96}$  is

- a) an aromatic moiety having 6 to 10 carbon atoms,
- b) a 5-, or 6-membered aromatic optionally benzo-fused heterocyclic moiety having one to three atoms selected from the group consisting of S, N, and O,  
wherein the substituents (a) and (b) which can in turn be substituted with one or three -NO<sub>2</sub>,  $CF_3$ , halo, -CN, OH, phenyl,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy, or  $C_{1-5}$  acyl,

- c) morpholinyl,  
d) OH,  
e) C<sub>1-6</sub> alkoxy,  
f) -NR<sub>83</sub>R<sub>84</sub>,  
g) -C(=O)-R<sub>87</sub>, or



R<sub>97</sub> is

- a) morpholinyl,  
b) OH, or  
c) C<sub>1-6</sub> alkoxy;

h is 1, 2, or 3;

i is 0, 1, or 2;

j is 0 or 1;

k is 3, 4, or 5;

l is 2 or 3;

m is 4 or 5;

n is 0, 1, 2, 3, 4, or 5;

p is 0, 1, 2, 3, 4, or 5; with the proviso that n and p together are 1, 2, 3, 4, or 5;

q is 1, 2, 3, or 4;

r is 2, 3, or 4;

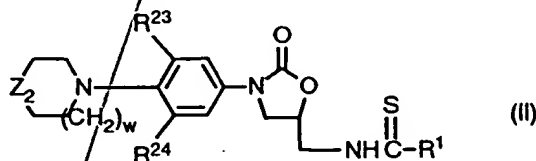
t is 0, 1, 2, 3, 4, 5, or 6;

u is 1 or 2;

w is 0, 1, 2, or 3.



c2  
7. (amended) A method of treating or preventing osteoporosis, bone resorption, or other bone disease characterized by the need to enhance bone formation in a vertebrate mammal in need thereof comprising the administering to the vertebrate mammal an effective amount of a compound of formula II



wherein  $Z_2$  is  $-O_2S-$ ,  $-O-$ ,  $-N(R^{107})-$ ,  $-OS-$ , or  $-S-$ ;

$w$  is 0, 1, 2, or 3;

$R^{23}$  and  $R^{24}$  are the same or different and can be H or F; and

$R^1$  is H,  $NH_2$ ,  $NHalkylC_1-C_4$ ;  $N(alkylC_1-C_4)_2$ ;  $-N(CH_2)_{2-5}$ ;

alkyl $C_1-C_4$ ; Oalkyl $C_1-C_4$ ; Salkyl $C_1-C_4$ ; alkyl $C_1-C_4$  substituted with 1-3F, 1-2Cl, CN, or  $-COOalkylC_1-C_4$ , or cycloalkyl $C_3-C_6$ , wherein in each occurrence of the alkyl group may be straight or branched; and

$R^{107}$  is

- a)  $R^{102}O-C(R^{110})(R^{111})-C(O)-$ ,
- b)  $R^{103}O-C(O)-$ ,
- c)  $R^{108}-C(O)-$ ,
- d)  $R^{109}-SO_2-$ ,
- e)  $NC-CH_2-$ ,
- f)  $FCHCH_2-$ , or
- g)  $R^{150}R^{151}NSO_2-$ ;

C2  
Contd  
APJ

wherein  $R^{102}$  is H,  $CH_3$ -, phenyl- $CH_2$ -, or  $CH_3C(O)$ ; each of  $R^{110}$  and  $R^{111}$  is selected from H or  $CH_3$ ;  $R^{103}$  is alkyl $C_1$ - $C_3$  or phenyl;  $R^{108}$  is H, alkyl $C_1$ - $C_4$ , aryl $(CH_2)_{0.5}$ ,  $CNCH_2$ -,  $ClCH_2$ -,  $Cl_2HC$ -,  $FH_2C$ -,  $F_2HC$ -, or cycloalkyl $C_3$ - $C_6$ ;  $R^{150}$  and  $R^{151}$  are the same or different and are selected from H, alkyl $C_1$ - $C_4$ , or  $R^{150}$  and  $R^{151}$  taken together with the nitrogen to which each is attached forms a monocyclic heterocyclic ring having from 3 to 6 carbon atoms.

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